

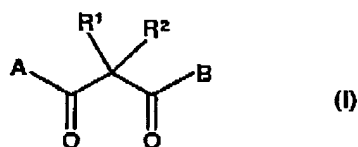
Group Art Unit 1624  
 Patent Appl. No. 10/634,827

January 3, 2006  
 Attorney Docket No. DEAV2000/A043 US NP1

### LISTING OF THE CLAIMS

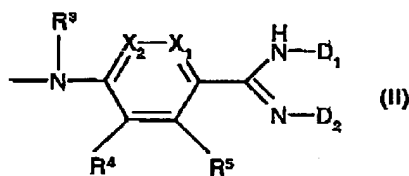
This listing of claims will replace all prior versions, and listings, of claims in the application:

1. (Previously presented): A compound of formula I,



wherein:

A is represented by formula II,



wherein:

$R^3$  is hydrogen, -OH, or  $-(C_1-C_7)\text{-alkyl}$ ;

$R^4$  and  $R^5$ , independently of one another, are

1. hydrogen;
2.  $-(C_1-C_7)\text{-alkyl}$ ;
3. -OH;
4.  $-O-(C_1-C_7)\text{-alkyl}$ ;
5. halogen;
6.  $-NH_2$ ; or
7.  $-NO_2$ ;

$X_1$  and  $X_2$ , independently of one another, are selected from a carbon substituted by  $R^4$ , wherein  $R^4$  is as defined above, and a nitrogen, but  $X_1$  and  $X_2$  are not both carbon;

$D_1$  and  $D_2$ , independently of one another, are

1. hydrogen;
2.  $-C(O)\text{-(}C_1-C_7\text{)-alkyl}$ ;
3.  $-C(O)\text{-aryl}$ ;
4.  $-C(O)\text{-(}C_1-C_7\text{)-alkyl-aryl}$ ;
5.  $-C(O)\text{-O-(}C_1-C_7\text{)-alkyl}$ ;

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6.  $-\text{C}(\text{O})-\text{O}-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$ ; or

7.  $-\text{C}(\text{O})-\text{O}-(\text{C}_1-\text{C}_6)\text{-aryl}$ ; or

$\text{D}_1$  is hydrogen, when  $\text{D}_2$  is

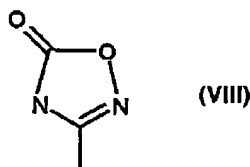
1.  $-\text{OH}$ ;

2.  $-\text{O}-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl}$ ;

3.  $-\text{O}-\text{C}(\text{O})\text{-aryl}$ ; or

4.  $-\text{O}-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$ ; or

$\text{D}_1$  and  $\text{D}_2$ , together with the nitrogen to which they are attached, form a cycle of the formula VIII



$\text{R}^1$  is 1. hydrogen;

2.  $-(\text{C}_1-\text{C}_7)\text{-alkyl}$ ;

3.  $-\text{OH}$ ;

4.  $-\text{O}-(\text{C}_1-\text{C}_7)\text{-alkyl}$ ; or

5.  $-\text{N}(\text{R}^6)_2$ , wherein  $\text{R}^6$  is, independently of one another, hydrogen,  $-\text{C}(\text{O})\text{-aryl}$ ,  $-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$ ,  $-\text{C}(\text{O})-(\text{C}_1-\text{C}_7)\text{-alkyl}$ ,  $-(\text{C}_1-\text{C}_7)\text{-alkyl}$ ,  $-\text{C}(\text{O})\text{-N}(\text{H})\text{-aryl}$ ,  $-\text{C}(\text{O})\text{-N}(\text{H})-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$ ,  $-(\text{C}_1-\text{C}_6)\text{-N}(\text{H})\text{-alkyl}$ ,  $-\text{C}(\text{O})\text{-O-aryl}$ ,  $-\text{C}(\text{O})\text{-O}-(\text{C}_1-\text{C}_7)\text{-alkyl-aryl}$ ,  $-\text{C}(\text{O})\text{-O}-(\text{C}_1-\text{C}_7)\text{-alkyl}$ ,  $\text{S}(\text{O}_2)\text{-aryl}$ , or  $-\text{S}(\text{O}_2)\text{-(C}_1\text{-C}_7)\text{-alkyl}$ ;

$\text{R}^2$  is 1. aryl, wherein aryl is unsubstituted or mono- to tri-substituted, independently of one another, by

1.1  $-\text{CF}_3$ ;

1.2 halogen;

1.3  $-\text{OH}$ ;

1.4  $-\text{CN}$ ;

1.5 sulfo;

1.6  $-\text{NO}_2$ ;

1.7  $-\text{NH}_2$ ;

1.8  $-\text{O}-(\text{C}_1-\text{C}_7)\text{-alkyl}$ ;

1.9 substituted amino;

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- 1.10 -COOH;
- 1.11 -(C<sub>1</sub>-C<sub>7</sub>)-alkyl;
- 1.12 carbamyl;
- 1.13 carbonyl;
- 1.14 alkoxycarbonyl;
- 1.15 methylenedioxy;
- 1.16 aryloxy, wherein aryloxy is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15;
- 1.17 -O-(C<sub>1</sub>-C<sub>7</sub>)-alkyl-aryl, wherein aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15;
- 1.18 Het-group, wherein Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15; or
- 1.19 -(C<sub>0</sub>-C<sub>4</sub>)-alkyl-aryl, wherein aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.15;
- 2. hydrogen;
- 3. Het-group, wherein the Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
- 4. -(CH<sub>2</sub>)<sub>m</sub>-Y<sub>n</sub>-(CH<sub>2</sub>)<sub>o</sub>-aryl, in which
  - m, n, and o are, independently of one another, 0, 1, or 2, provided that at least one of m, n, and o is not 0;
  - aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above; and
  - Y is -O-, -S-, or -N-(R<sup>6</sup>) wherein R<sup>6</sup> is hydrogen or -(C<sub>1</sub>-C<sub>7</sub>)-alkyl, provided n is 1, or Y is -N(R<sup>6</sup>)-N(R<sup>6</sup>)- wherein R<sup>6</sup> is, independently of one another, hydrogen or -(C<sub>1</sub>-C<sub>7</sub>)-alkyl, or -N=N-, provided n is 2; or
- 5. -(CH<sub>2</sub>)<sub>m</sub>-Y<sub>n</sub>-(CH<sub>2</sub>)<sub>o</sub>-Het-group, in which
  - m, n, and o are, independently of one another, 0, 1, or 2, provided that at least one of m, n, and o is not 0;
  - Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above; and

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Y is as defined above; or

R<sup>1</sup> and R<sup>2</sup>, together with the carbon to which they are bonded, form

1. a -(C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, wherein cycloalkyl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
2. a -(C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, wherein cycloalkyl is unsubstituted or mono- to disubstituted, independently of one another, and fused to an aryl- or Het-group-ring, which itself is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
3. a Het-group, wherein the Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above; or
4. a keto-group, which may partially or totally exist in a hydrated state;

provided that, when R<sup>1</sup> is as defined above under 3, 4, or 5, then R<sup>2</sup> is not directly bonded to formula I via a oxygen-, sulfur- or nitrogen-;

- B is
1. -N(R<sup>7</sup>)-(CH-(R<sup>8</sup>))<sub>p</sub>-aryl, in which  
aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;  
p is 0, 1, or 2;  
R<sup>7</sup> is
    - 1.1 hydrogen;
    - 1.2 -(C<sub>1</sub>-C<sub>7</sub>)-alkyl;
    - 1.3 -OH; or
    - 1.4 -N-(R<sup>6</sup>)<sub>2</sub>, wherein R<sup>6</sup> is, independently of one another, hydrogen or -(C<sub>1</sub>-C<sub>7</sub>)-alkyl;  
R<sup>8</sup> is
    - 1.1 hydrogen;
    - 1.2 -(C<sub>1</sub>-C<sub>7</sub>)-alkyl;
    - 1.3 -(C<sub>2</sub>-C<sub>7</sub>)-alkenyl;
    - 1.4 -(C<sub>2</sub>-C<sub>7</sub>)-alkynyl;
    - 1.5 -(C<sub>0</sub>-C<sub>3</sub>)-alkyl-(C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl;
    - 1.6 -CN;

- 1.7 aryl, aryl is unsubstituted or mono- or di-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
- 1.8 a Het-group, wherein the Het-group is unsubstituted or mono- or di- substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;
- 1.9  $-(CH-(R^8))_p$  forms a  $-(C_3-C_7)$ -cycloalkyl derivative; or
- 1.10  $-(C_0-C_4)$ -alkyl-O- $(C_1-C_7)$ -alkyl;
2.  $-O-(CH-(R^8))_p$ -aryl, wherein aryl,  $R^8$ , and p are as defined above;
3.  $-N(R^7)-(CH-(R^8))_p$ -Het-group, wherein the Het-group is unsubstituted or mono- or di-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above, and  $R^7$ ,  $R^8$ , and p are as defined above;
4.  $-N(R^9)-N(R^9)-(CH-(R^8))_q$ -aryl, in which  
aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;  
q is 0, 1, or 2;  
 $R^9$  and  $R^9$  are, independently of one another, hydrogen,  $-(C_1-C_7)$ -alkyl, or  $-(C_1-C_3)$ -alkyl-aryl; and  
 $R^8$  is as defined above;
5.  $-O-N(R^9)-(CH-(R^8))_q$ -aryl, in which  
aryl is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;  
q is 0, 1, or 2; and  
 $R^8$  and  $R^9$  are as defined above;
6.  $-N(R^9)-N(R^9)-(CH-(R^8))_q$ -Het-group, in which  
Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;  
q is 0, 1, or 2; and  
 $R^8$ ,  $R^9$ , and  $R^9$  are as defined above; or
7.  $-O-N(R^9)-(CH-(R^8))_q$ -Het-group, in which  
Het-group is unsubstituted or mono- to tri-substituted, independently of one another, by a substituent as defined by 1.1 to 1.19 above;  
q is 0, 1, or 2; and  
 $R^8$  and  $R^9$  are as defined above;

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in any stereoisomeric form or mixture thereof in any ratio, or a physiologically tolerable salt thereof.

2. (Previously presented): A compound of claim 1, wherein

A is represented by formula II, wherein

$R^3$  is hydrogen;

$R^4$  and  $R^5$ , independently of one another, are hydrogen or halogen; and

$X_1$  and  $X_2$ , independently of one another, are carbon or nitrogen, but  $X_1$  and  $X_2$  are not both carbon;

$R^1$  is hydrogen or  $-(C_1-C_2)$ -alkyl;

$R^2$  is hydrogen, phenyl, or  $-(C_1-C_2)$ -alkyl-phenyl;

B is 1.  $-N(R^7)-(CH(R^8))_p$ -aryl, in which

aryl is indanyl, phenyl, tetralinyl, naphthalinyl, which are unsubstituted or mono- to di-substituted, independently of one another, by

1.1 Br, Cl, or F;

1.2  $-CF_3$ ;

1.3  $-NO_2$ ;

1.4 methylenedioxy;

1.5  $-OH$ ;

1.6 phenyl;

1.7 phenoxy;

1.8 benzyloxy;

1.9  $-O-(C_1-C_7)$ -alkyl-phenyl, wherein phenyl is unsubstituted or mono- to tri-substituted, independently of one another, by

1.9.1 Br, Cl, or F;

1.9.2  $-(C_1-C_4)$ -alkyl; or

1.9.3  $-NO_2$ ;

1.10  $-C(O)-O-(C_1-C_4)$ -alkyl;

1.11  $-O-(C_1-C_4)$ -alkyl;

1.12  $-SO_2-(C_1-C_4)$ -alkyl;

1.13  $-COOH$ ;

1.14  $-(C_1-C_3)$ -alkyl; or

1.15 methoxyl;

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- p is 0, 1, or 2;  
R<sup>7</sup> is hydrogen;  
R<sup>8</sup> is
- 1.1 hydrogen;
  - 1.2 -(C<sub>1</sub>-C<sub>2</sub>)-alkyl;
  - 1.3 -CN;
  - 1.4 phenyl, wherein phenyl is unsubstituted or mono- or di-substituted, independently of one another, by methoxy or halogen;
  - 1.5 -(C<sub>0</sub>-C<sub>2</sub>)-alkyl-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;
  - 1.6 -(CH-(R<sup>8</sup>))- forms a -(C<sub>4</sub>-C<sub>6</sub>)-cycloalkyl derivative;
  - 1.7 cyclopropylmethyl; or
  - 1.8 ethynyl;
2. -O-(CH-(R<sup>8</sup>))<sub>p</sub>-phenyl, wherein phenyl, R<sup>8</sup>, and p are as defined above;
3. -N(R<sup>9</sup>)-N(R<sup>9</sup>)-(CH-(R<sup>8</sup>))<sub>q</sub>-Het-group, in which  
Het-group is quinoxaline, imidazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, thiazolyl, indazolyl, benzothiazolyl, indolyl, indolyl, or pyridinyl, wherein Het-group is unsubstituted or mono- to di-substituted, independently of one another, by
- 1.1 Br, Cl, or F;
  - 1.2 -CF<sub>3</sub>;
  - 1.3 -NO<sub>2</sub>;
  - 1.4 methylenedioxy;
  - 1.5 -OH;
  - 1.6 phenyl;
  - 1.7 phenoxy;
  - 1.8 benzyloxy;
  - 1.9 -O-(C<sub>1</sub>-C<sub>7</sub>)-alkyl-phenyl, wherein phenyl is unsubstituted or or mono- to tri-substituted, independently of one another, by
    - 1.9.1 Br, Cl, or F;
    - 1.9.2 -(C<sub>1</sub>-C<sub>4</sub>)-alkyl; or
    - 1.9.3 -NO<sub>2</sub>;
  - 1.10 -C(O)-O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;
  - 1.11 -O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;
  - 1.12 -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub>)-alkyl;
  - 1.13 -COOH;

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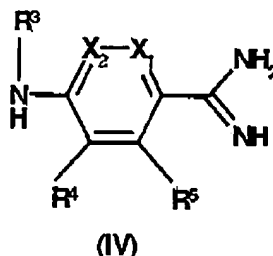
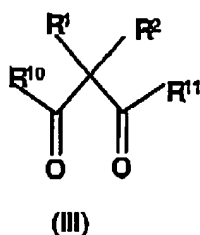
- 1.14  $-(C_1-C_3)$ -alkyl; or
- 1.15 methoxyl;
- $R^9$  and  $R^{10}$  are, independently of one another, hydrogen or  $-(C_1-C_2)$ -alkyl;  $R^8$  is
  - 1.1 hydrogen;
  - 1.2  $-(C_1-C_2)$ -alkyl;
  - 1.3 -CN;
  - 1.4 phenyl, wherein phenyl is unsubstituted or mono- or di-substituted, independently of one another, by methoxy or halogen;
  - 1.5  $-(C_0-C_2)$ -alkyl-O- $(C_1-C_4)$ -alkyl;
  - 1.6  $-(CH-(R^8))$ - forms a  $-(C_4-C_6)$ -cycloalkyl derivative;
  - 1.7 cyclopropylmethyl; or
  - 1.8 ethynyl; and
- q is 0, 1, or 2; or
- 4.  $-N(R^7)-(CH-(R^8))_p$ -Het-group<sup>2</sup>, wherein the Het-group<sup>2</sup> is imidazolyl, benzimidazolyl, oxazolyl, benzoxazolyl, thiazolyl, benzothiazolyl, indolyl, indazolyl, indolinyl, or pyridinyl, wherein Het-group<sup>2</sup> is unsubstituted or mono-substituted by Br, Cl, F,  $-CF_3$ ,  $-NO_2$ , phenyl, phenoxy, methyl, benzyloxy, or methoxy;
  - p is 0, 1, or 2;
  - $R^7$  is hydrogen;
  - $R^8$  is
    - 1.1 hydrogen;
    - 1.2  $-(C_1-C_2)$ -alkyl;
    - 1.3 -CN;
    - 1.4 phenyl, wherein phenyl is unsubstituted or mono- or di-substituted, independently of one another, by methoxy or halogen;
    - 1.5  $-(C_0-C_2)$ -alkyl-O- $(C_1-C_4)$ -alkyl;
    - 1.6  $-(CH-(R^8))$ - forms a  $-(C_4-C_6)$ -cycloalkyl derivative;
    - 1.7 cyclopropylmethyl; or
    - 1.8 ethynyl

3. (Original): A process for the preparation of a compound of claim 1, comprising linking the building blocks of formulae III, IV, and V



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H-B

(V)

wherein  $R^{10}$  and  $R^{11}$  are, independently of one another, a -OH group, an acid chloride, an ester or an activated ester, or a mixed anhydride, or any other activated species resulting from the reaction of the carboxylic acid with coupling reagents, and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ ,  $R^8$ ,  $X_1$ ,  $X_2$ , B, p, and aryl are as defined for formula I, by means of forming in a manner known per se an amide bond between the carboxylic acid derivative depicted in formula III and the  $-NHR^3$  group depicted in formula IV and an amide bond or ester bond between the carboxylic acid derivative depicted in formula III and the -OH- or -NH- group depicted in formula V.

4. (Currently amended): A pharmaceutical preparation, comprising ~~at least one~~ one or more compound of claim 1 and a pharmaceutically acceptable carrier.
5. (Currently amended): A method for inhibiting factor VIIa, comprising administering to a patient in need thereof an effective amount of ~~at least one~~ one or more compound of claim 1.
6. (Currently amended): A method for inhibiting or reducing blood clotting ~~or inflammatory response~~, comprising administering to a patient in need thereof an effective amount of ~~at least one~~ one or more compound of claim 1.
7. (Canceled)
8. (Canceled)
9. (Currently Amended): A method for treating restenoses, comprising administering to a patient in need thereof an effective amount of ~~at least one~~ one or more compound of claim 1.

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10. (New) A method for inhibiting factor VIIa/TF activity comprising combining human factor VIIa and TF with one or more compounds of claim 1.